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IN THE UNITED STATES Patent and Trademark Office

In re Application of:

Hermann KUENZER et al.

Confirmation No.: 8032

Serial No.: 09/497,891

Examiner: Sabiha Naim Qazi

Filed: February 4, 2000

Group Art Unit: 1616

Title: 16-HYDROXYESTRATRIENES AS SELECTIVELY ACTIVE ESTROGENS

**BRIEF ON APPEAL UNDER 37 C.F.R. § 41.37**

**MAIL STOP APPEAL BRIEF - PATENTS**

Commissioner for Patents

P.O. Box 1450

ALEXANDRIA, VA 22313-1450

Sir:

This is an appeal from the decision of the Examiner finally rejecting claims 53-65 of the above-identified application.

**(1) REAL PARTY IN INTEREST**

The application is assigned of record to Schering Aktiengesellschaft, who is the real party in interest herein.

**(2) RELATED APPEALS AND INTERFERENCES**

Appellants, their legal representative and the assignee are not aware of any related appeals or interferences which will directly affect or be directly affected by or have a bearing on the Board's decision in the instant appeal.

### **(3) STATUS OF THE CLAIMS**

Claims rejected: Claims 53-65.

Claims allowed: None

Claims canceled: Claims 1-52 and 66-89.

Claims withdrawn: None

Claims on Appeal: Claims 53-65 (Copy of claims on appeal in attached Appendix).

### **(4) STATUS OF AMENDMENTS AFTER FINAL**

Appellants amendments in the Reply After Final Rejection filed January 20, 2004, have been entered. They were indicated to be entered by the Examiner in the subsequent Office Action mailed March 11, 2004 (see page 2, paragraph 3), wherein the Finality of the previous rejection was withdrawn.

### **(5) SUMMARY OF CLAIMED SUBJECT MATTER**

Appellants' invention is directed to the 3,16-dihydroxyestra-1,3,5(10)-triene compounds defined by formula I shown at page 7, line 5, of the specification (with the substituent definitions recited in claim 53, on appeal) but excluding by proviso the following four specific compounds: estra-1,3,5(10)-triene-3,16 $\alpha$ -diol; estra-1,3,5(10)-triene-3,16 $\beta$ -diol; 16 $\beta$ -ethinylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol; and 16 $\alpha$ -ethinylestra-1,3,5(10)-triene-3,16 $\beta$ -diol. Dependent claims 54-64 define preferred embodiments within this scope of compounds. Dependent claim 65 is directed to a pharmaceutical composition containing at least one of these compounds and a pharmaceutically compatible vehicle.

#### **(6) GROUNDS OF REJECTION TO BE REVIEWED ON APPEAL**

- (1) Claims 53-65, on appeal, stand rejected under 35 U.S.C. §112, first paragraph, as alleging failing to comply with the written description requirement.
- (2) Claims 53-65, on appeal, stand rejected under 35 U.S.C. §102(b), as allegedly being anticipated by CAPLUS Abstract No. 1979:66957 (Ojasoo et al.) and CAPLUS Abstract No. 1970:3637 (Roussel-Uclaf).
- (3) Claims 53-65, on appeal, stand rejected under 35 U.S.C. §103, as allegedly being obvious to one of ordinary skill in the art from Arunachalam (J.Bio.Chem, 254(13), pp. 5900-5905 (1979)).

#### **(7) GROUPING OF THE CLAIMS**

As to rejection (1), claims 53, 54 and 65, on appeal, are grouped together and claims 55-64 are separately grouped together for the reasons given in the argument.

As to rejection (2), claims 53, 54 and 65, on appeal, are grouped together and claims 55-64 are separately grouped together for the reasons given in the argument.

As to rejection (3), claims 53, 54 and 65, on appeal, are grouped together and claims 55-64 are separately grouped together for the reasons given in the argument.

#### **(8) APPELLANTS' ARGUMENTS**

(1a) Claims 53, 54 and 65, on appeal, have adequate written description in the original disclosure and, thus, are proper under 35 U.S.C. §112, first paragraph.

The rejection is based on the allegation that claim 53, on appeal, is not supported by an adequate written description in the original disclosure due to the proviso at the end of the

"excluding the compounds *estra-1,3,5(10)-triene-3,16 $\alpha$ -diol*, *estra-1,3,5(10)-triene-3,16 $\beta$ -diol*, *16 $\beta$ -ethinylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol* and *16 $\alpha$ -ethinylestra-1,3,5(10)-triene-3,16 $\beta$ -diol*."

The specification explicitly provides a written description of the exclusion of the first two listed compounds out of the four compounds in the proviso. See, page 12, lines 1-3 and original claim 1 (page 97) of the original disclosure. Admittedly, the original disclosure does not explicitly recite that the last two compounds in the proviso should also be excluded, i.e., the *16 $\beta$ -ethinylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol* and *16 $\alpha$ -ethinylestra-1,3,5(10)-triene-3,16 $\beta$ -diol* compounds. However, the law supports that the proviso in claim 53, on appeal, has adequate written description under 35 U.S.C. §112, first paragraph, in the original disclosure for the following reasons.

In re Johnson, 558 F.2d 1008, 194 USPQ 187 (CCPA 1977), fully supports appellants' position that the proviso excluding a few specific species from the claimed genus is adequately supported by the original disclosure. The basis for Johnson, which applies here, is that, since the whole scope of the original genus is clearly supported, a scope which only eliminates a small part of such scope must also be supported. As stated by the Court in Johnson:

"The notion that one who fully discloses, and teaches those skilled in the art how to make and use, a genus and numerous species therewithin, has somehow failed to disclose, and teach those skilled in the art how to make and use, that genus minus two of those species, and thus has failed to satisfy the requirement of §112, first paragraph, appears to result from a hypertechnical application of legalistic prose relating to that provision of the statute."

That two of the four species excluded by appellants' proviso are not explicitly recited in the original disclosure should not change the reasoning behind this statement of the law by the Court. The Court in Johnson makes clear that the reasoning for allowing the proviso, which was not explicitly recited in the original disclosure, was not because the excluded species were among the explicitly recited specific species. The reasoning in Johnson was that appellants should have a right to retreat to a narrower invention when it turns out that the originally disclosed scope of their invention is not patentable; see In re Wertheim, 541 F.2d 257, 191 USPQ 90, 97 (CCPA 1976) and In re Saunders, 444 F.2d 599, 170 USPQ 213, 220 (CCPA 1971), both cited and quoted in Johnson. See also Ex parte Parks, 30 USPQ2d 1234, 1236 (Bd. Pat. App. & Inter. 1993) reciting: "a lack of literal basis in the specification for a negative limitation may not be sufficient to establish a *prima facie* case for lack of descriptive support." (This is also cited at MPEP §2173.05(i)).

Further, the current situation is not akin to the situation in Ex parte Grasselli, 231 USPQ 393 (Bd. App. 1983), *aff 'd mem.*, 738 F.2d 453 (Fed. Cir. 1984), wherein the negative limitation was found lacking support because adding the limitation introduced new concepts of the invention. Exclusion of two further compounds out of the genus, in addition to the two explicitly excluded, does not introduce new concepts of the invention. It merely carves out a very small percentage of the species within the genus, which species were later found out to be already known compounds. This is exactly the type of situation which was found in Johnson, Wertheim, Saunders and Parks to not give rise to a lack of adequate written description rejection under 35 U.S.C. §112, first paragraph. The disclosure of the genus provides adequate description to one of ordinary skill in the art that the appellants were in possession of the same genus minus four species and had invented such subject matter. It is not necessary that the appellant explicitly described the full extent of the proviso now in the

As an alternative basis for finding adequate written description support, it is pointed out that the specification provides a description of many specific species which are representative of the entire scope of the compounds of formula I. But the list of specific species does not include the four species excluded by the current proviso in claim 53. The listing of the species which exclude these four thus supports the genus absent the four.

For the above reasons, it is urged that the claims on appeal have adequate written description in the original disclosure and, thus, the rejection under 35 U.S.C. §112, first paragraph, is not supported on the record.

(1b) Claims 55-64, on appeal, have adequate written description in the original disclosure and, thus, are proper under 35 U.S.C. §112, first paragraph.

The reasons given with regarding to part (1a) above apply equally here. But claims 55-64, on appeal, are free from the rejection for the following additional reason.

These dependent claims do not require the proviso from claim 53 to exclude the 4 compounds in question. These dependent claims exclude those compounds already based on their definitions of the R groups or on reciting species not including those 4 compounds. The proviso is thus not relevant to these claims and the allegation of lack of written description based on the proviso is not applicable to these claims.

Accordingly, the rejection under 35 U.S.C. §112, first paragraph, as to these claims is additionally unsupported.

(2a) Claims 53, 54 and 65, on appeal, are not anticipated by CAPLUS Abstract No. 1979:66957 (Ojasoo et al.) or CAPLUS Abstract No. 1970:3637 (Roussel-Uclaf) and, thus, the rejection under 35 U.S.C. §102(b) is not supported.

The compound disclosed by Ojasoo and Roussel-Uclaf which forms the basis for the rejection is the 16 $\alpha$ -ethynylestra-1,3,5(10)-triene-3,16 $\beta$ -diol compound, whose structure is shown in the abstracts. This compound is specifically excluded from appellants' claims on appeal by the proviso at the end of claim 53. Although support in the specification for the proviso is in dispute (see part (1a) above discussing the 35 U.S.C. §112 rejection), the proviso must still be given its full consideration when applying – or not applying – prior art. It is improper to ignore a claim limitation when assessing application of prior art because it is alleged to be objectionable for some other reason. Further, for the reasons given above, the proviso is properly supported and the 35 U.S.C. §112 rejection should be withdrawn.

Because the compound of the prior art is expressly excluded from appellants' claims, the prior art fails to anticipate the instant claims and the rejection under 35 U.S.C. §102 is not supported on the record.

(2b) Claims 55-64, on appeal, are not anticipated by CAPLUS Abstract No. 1979:66957 (Ojasoo et al.) or CAPLUS Abstract No. 1970:3637 (Roussel-Uclaf) and, thus, the rejection under 35 U.S.C. §102(b) is not supported.

The reasons given with regarding to part (1a) above apply equally here. But claims 55-64, on appeal, are free from the rejection for the following additional reason.

These dependent claims do not require the proviso from claim 53 to exclude the 4 compounds in question. These dependent claims exclude those compounds already based on their definitions of the R groups or on reciting species not including those 4 compounds. These claims exclude the Estra-1,3,5(10)-triene-1,16-diol, 16( $\alpha$  or  $\beta$ )-ethynyl compound disclosed in Ojasoo or Roussel-Uclaf, without need of the proviso. See the definitions of the R<sup>7</sup>, R<sup>11</sup> and R<sup>15</sup> groups in claims 55-61, the esterification of the OH group(s) in claim 62 and

the specific species of claims 62 and 63, which distinguish the compound shown in the abstract references. Whether the proviso is supported is not relevant to these claims, since they are otherwise distinguished.

Accordingly, the rejection under 35 U.S.C. §102 as to these claims is unsupported for this additional reason.

(3a) Claims 53, 54 and 65, on appeal, are not obvious to one of ordinary skill in the art from Arunachalam (J.Bio.Chem, 254(13), pp. 5900-5905 (1979) and, thus, the rejection under 35 U.S.C. §103 is not supported.

Arunachalam discloses "Iodoestrogens" which require an iodo group-containing estrogen analog. All of the compounds disclosed by Arunachalam which are 3,16-diols require at least one iodo group substituted at the 17-position. See, Table 1 on page 5901, compounds 19a, b and c. All of the other compounds disclosed in Arunachalam are not 3,16-diols and are distinguished for this reason. Arunachalam discloses no compounds which are 3,16-dihydroxyestra-1,3,5(10)-trienes which are substituted at the 17 position by fluoro, an optionally partially or completely fluorinated alkyl group or hydrogen. Compare appellants' claim 53, on appeal, particularly the general formula I and the R<sup>17</sup> substituent definition.

There is no teaching or suggestion from Arunachalam (or otherwise in the art) to modify the iodo compounds of the reference to replace the iodo substituent with a substituent selected from fluoro, optionally fluorinated alkyl or hydrogen. In order to establish obviousness under 35 U.S.C. §103, the mere fact that the prior art could be modified to arrive at the claimed invention is insufficient. The prior art must suggest to one of ordinary skill in the art the desirability of the necessary modification. See In re Laskowski, 10 USPQ2d 1397 (Fed. Cir. 1989); and, In re Geiger, 2 USPQ2d 1276 (Fed. Cir. 1987). No such desirability is



evidenced on the record here.

Contrary to providing teachings indicating any desire for one of ordinary skill in the art to replace the iodo group with some other group, Arunachalam directs one of ordinary skill in the art away from making such a modification. The reference makes clear – see, e.g., the Abstract and the second and third full paragraphs of the text body on page 5900 – that the primary objective of the reference was to provide highly radioactive iodo-containing estrogen analog compounds. The basis for the work underlying the reference was to investigate specific iodination sites to determine which would not destroy the specific receptor binding of the estrogen. See the first paragraph under the "Discussion" section on page 5900. The reference even specifically focuses on isotopes of iodine and dismisses previous attempts with other radioactive substituents as inadequate. See the first paragraph under the "Discussion" section on page 5900.

Since the teachings of Arunachalam unequivocally directs one of ordinary skill in the art that the iodo substituent is necessary in their compounds, Arunachalam clearly does not motivate one of ordinary skill in the art to remove the iodo group or otherwise modify their compounds to arrive at compounds of the instant claims.

It was asserted in the Final Office Action (page 5) that the substitution of fluoro for iodo in the reference compounds would have been obvious because fluoro and iodo are in the same group of the periodic table and the substitution would be expected to result in compounds with similar properties. This might have been a convincing argument if the reference itself did not completely contradict it. Arunachalam specifically extols the desirability of the iodo substituent above others. In fact, Arunachalam refers in general to a fluorine isotope – see the first paragraph under the "Discussion" section on page 5900 – but dismisses it in favor of iodine isotopes. Thus, the reference itself refutes the argument that

substitution of a fluoro group for iodo would be expected to result in compounds with similar properties.

Part of the argument in the Final Office Action (pages 4-5) appears to imply that Arunachalam provides a generic disclosure of substitution which would include fluoro and iodo (i.e., it argues that the claims are of "broader scope," that a showing of "criticality and/or unexpected results" is required and the "reference is not limited to working examples.") To the extent this position was intended, appellants point out that Arunachalam does not provide a generic disclosure which would encompass fluoro substitution at the 17-position. All of the 3,16-diols disclosed by Arunachalam require an iodo substitution at the 17-position. Thus, this is not a case of a reference having a generically encompassing disclosure against which appellants must show criticality and/or unexpected results to prove patentable distinctness for a selection invention.

For all of the above reasons, it is urged that Arunachalam fails to render the claimed invention obvious to one of ordinary skill in the art. Thus, the rejection under 35 U.S.C. §103 is not supported on the record.

(3b) Claims 55-64, on appeal, are not obvious to one of ordinary skill in the art from Arunachalam (J.Bio.Chem, 254(13), pp. 5900-5905 (1979) and, thus, the rejection under 35 U.S.C. §103 is not supported.

The reasons given with regarding to part (1a) above apply equally here. But claims 55-64, on appeal, are free from the rejection for the following additional reason.

In addition to the iodo distinction discussed above, these dependent claims are further distinguished from the Arunachalam compounds. Arunachalam provides no disclosure or suggestion of compounds:

- having substituents as defined for the R<sup>7</sup>, R<sup>11</sup> and R<sup>15</sup> groups in claims 55-61;
- having the esterification of the OH group(s) as recited in claim 62; or
- which include any of the specific species of claims 62 and 63, all of which differ from the Arunachalam compounds in at least one further structural aspect in addition to the iodo distinction.

No evidence or even allegation has been provided on the record as to why one of ordinary skill in the art would have been motivated to modify the Arunachalam compounds in one of these additional structural elements, in addition to the iodo modification.


Accordingly, the rejection under 35 U.S.C. §103 as to these claims is unsupported for this additional reason.

#### **(9) CONCLUSION**

For all of the above reasons, it is urged that the decision of the Examiner rejecting claims 53-65, on appeal, is in error and should be reversed.

The Commissioner is hereby authorized to charge any fees associated with this response or credit any overpayment to Deposit Account No. 13-3402.

Respectfully submitted,



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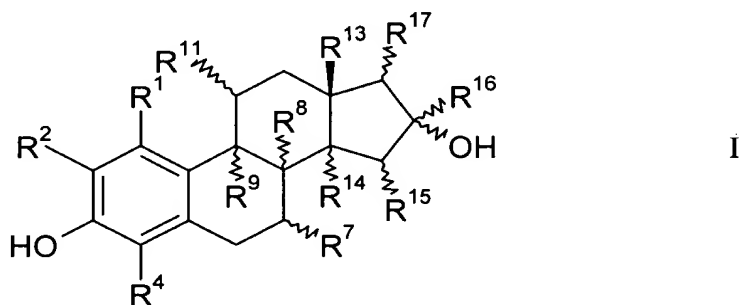
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## APPENDIX OF CLAIMS ON APPEAL

53. A 3,16-Dihydroxyestra-1,3,5(10)-triene compound of formula I:



in which radicals  $R^1$  to  $R^{17}$ , independently of one another, have the following meanings:

- $R^1$  is a halogen atom, a hydroxyl group, a methyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or a hydrogen atom;
- $R^2$  is a halogen atom, a hydroxyl group, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or a hydrogen atom;
- $R^4$  is a halogen atom, a straight-chain or branched-chain, saturated or unsaturated alkyl group with 1 to 10 carbon atoms, a trifluoromethyl or pentafluoroethyl group, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or a hydrogen atom;
- $R^7$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms, an optionally substituted aryl or heteroaryl radical or a hydrogen atom;

- R<sup>8</sup> is a hydrogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a cyano group in  $\alpha$ - or  $\beta$ -position;
- R<sup>9</sup> is a hydrogen atom in  $\alpha$ - or  $\beta$ -position, a methyl, ethyl, trifluoromethyl or pentafluoroethyl group in  $\alpha$ - or  $\beta$ -position;
- R<sup>11</sup> is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxyl or mercapto group in  $\alpha$ - or  $\beta$ -position, a halogen atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy or alkylthio group with 1 to 6 carbon atoms, an optionally substituted aryl or heteroaryl radical or a hydrogen atom;
- R<sup>13</sup> is a methyl, ethyl, trifluoromethyl or pentafluoroethyl group in  $\beta$ -position;
- and either
- R<sup>14</sup> is a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a hydrogen atom in  $\alpha$ - or  $\beta$ -position
- and
- R<sup>15</sup> is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position that can be interrupted by one or more oxygen atoms, sulfur atoms, sulfoxide or sulfone groups or imino

groups =  $\text{NR}^{15'}$  wherein  $\text{R}^{15'}$  = hydrogen atom, methyl, ethyl, propyl, i-propyl;  
or a hydrogen atom

or

$\text{R}^{14}$  and  $\text{R}^{15}$  together is a  $14\alpha,15\alpha$ -methylene or  $14\beta,15\beta$ -methylene group that are optionally substituted with one or two halogen atoms;

$\text{R}^{16}$  is a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a trifluoromethyl or pentafluoroethyl group, a cyanomethyl group or a hydrogen atom in  $\alpha$ - or  $\beta$ -position;

$\text{R}^{17}$  is a fluoro atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position or a hydrogen atom,

and

the wavy lines mean the arrangement of the respective substituent in  $\alpha$ - or  $\beta$ -position,

excluding the compounds  $\text{estra-1,3,5(10)-triene-3,16}\alpha$ -diol,  $\text{estra-1,3,5(10)-triene-3,16}\beta$ -diol,  $16\beta$ -ethinylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol and  $16\alpha$ -ethinylestra-1,3,5(10)-triene-3,16 $\beta$ -diol.

**54.** A compound according to claim 53, in which radicals  $\text{R}^1$  to  $\text{R}^{17}$ , independently of one another, have the following meanings

$\text{R}^1$  is a fluorine atom, a hydroxyl group, a methyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or a hydrogen atom;

R<sup>2</sup> is a fluorine atom, a hydroxyl group, a methoxy or ethoxy group or a hydrogen atom;

R<sup>4</sup> is a fluorine atom, a methyl, ethyl, trifluoromethyl, methoxy or ethoxy group or a hydrogen atom;

R<sup>7</sup> is a fluorine atom in  $\alpha$ - or  $\beta$ -position, a methyl, ethyl, propyl or i-propyl group in  $\alpha$ - or  $\beta$ -position, an optionally substituted aryl radical, a trifluoromethyl group in  $\alpha$ - or  $\beta$ -position or a hydrogen atom;

R<sup>8</sup> is a hydrogen atom in  $\alpha$ - or  $\beta$ -position, a methyl or ethyl group in  $\alpha$ - or  $\beta$ -position;

R<sup>9</sup> is a hydrogen atom in  $\alpha$ - or  $\beta$ -position, a methyl, ethyl, trifluoromethyl or pentafluoroethyl group in  $\alpha$ - or  $\beta$ -position;

R<sup>11</sup> is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxyl group in  $\alpha$ - or  $\beta$ -position, a fluorine atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a methyl group in  $\alpha$ - or  $\beta$ -position, a methoxy group in  $\alpha$ - or  $\beta$ -position, a phenyl- or 3-methylthien-2-yl radical in  $\alpha$ - or  $\beta$ -position or a hydrogen atom;

R<sup>13</sup> is a methyl or ethyl group in  $\beta$ -position;

and either

R<sup>14</sup> is a hydrogen atom in  $\alpha$ - or  $\beta$ -position or a methyl group in  $\alpha$ - or  $\beta$ -position

and

R<sup>15</sup> is a fluorine atom in  $\alpha$ - or  $\beta$ -position, a methyl group in  $\alpha$ - or  $\beta$ -position, or a hydrogen atom,

or

R<sup>14</sup> and R<sup>15</sup> together mean a 14 $\alpha$ ,15 $\alpha$ -methylene group or a 14 $\beta$ ,15 $\beta$ -methylene group,

R<sup>16</sup> means a methyl, ethyl, ethinyl, propinyl or trifluoromethyl group;



$R^{17}$  means a fluorine atom in  $\alpha$ - or  $\beta$ -position, a methyl group, or a hydrogen atom.

55. A compound of formula I according to claim 53, in which

$R^7$  means a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms, or an optionally substituted aryl or heteroaryl radical

and

$R^1, R^2, R^4, R^8, R^9, R^{11}, R^{14}, R^{15}, R^{16}$  and  $R^{17}$  in each case are a hydrogen atom.

56. A compound of formula I according to claim 53, in which

$R^{11}$  is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxyl or mercapto group in  $\alpha$ - or  $\beta$ -position, a halogen atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy or alkylthio group with 1 to 6 carbon atoms, or an optionally substituted aryl or heteroaryl radical, and

$R^1, R^2, R^4, R^7, R^8, R^9, R^{14}, R^{15}, R^{16}$  and  $R^{17}$  in each case are a hydrogen atom.

57. A compound of formula I according to claim 53, in which

$R^{15}$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position that can be interrupted by one or more oxygen atoms, sulfur atoms, sulfoxide or sulfone groups or imino groups =  $NR^{15'}$  ( $R^{15'}$  = hydrogen atom, methyl, ethyl, propyl, *i*-propyl), and  $R^1, R^2, R^4, R^7, R^8, R^9, R^{11}, R^{14}, R^{16}$  and  $R^{17}$  in each case are a hydrogen atom.

58. A compound of formula I according to claim 53, in which

$R^7$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or an optionally substituted aryl or heteroaryl radical,

$R^{11}$  is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxyl or mercapto group in  $\alpha$ - or  $\beta$ -position, a halogen atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy or alkylthio group with 1 to 6 carbon atoms or an optionally substituted aryl or heteroaryl radical, and

$R^1, R^2, R^4, R^8, R^9, R^{14}, R^{15}, R^{16}$  and  $R^{17}$  in each case are a hydrogen atom.

59. Compounds of general formula I according to claim 53, in which

$R^7$  is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or an optionally substituted aryl or heteroaryl radical,

$R^{15}$  is a halogen atom in  $\alpha$ - or  $\beta$ -position or a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position that can be interrupted by one or more oxygen atoms, sulfur atoms, sulfoxide or sulfone groups or imino groups = NR<sup>15'</sup> ( $R^{15'}$  = hydrogen atom, methyl, ethyl, propyl, i-propyl), and  $R^1, R^2, R^4, R^8, R^9, R^{11}, R^{14}, R^{16}$  and  $R^{17}$  in each case are a hydrogen atom.

60. A compound of formula I according to claim 53, in which

$R^{11}$  is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxy or mercapto group in  $\alpha$ - or  $\beta$ -position, a halogen atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy or alkylthio group with 1 to 6 carbon atoms or an optionally substituted aryl or heteroaryl radical,

$R^{15}$  is a halogen atom in  $\alpha$ - or  $\beta$ -position or a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position that can be interrupted by

one or more oxygen atoms, sulfur atoms, sulfoxide or sulfone groups or imino groups = NR<sup>15'</sup> (R<sup>15'</sup> = hydrogen atom, methyl, ethyl, propyl, i-propyl), and

R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>14</sup>, R<sup>16</sup>, and R<sup>17</sup> in each case are a hydrogen atom.

**61.** A compound of formula I according to claim 53, in which

R<sup>7</sup> is a halogen atom in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy group with 1 to 6 carbon atoms or an optionally substituted aryl or heteroaryl radical,

R<sup>11</sup> is a nitrooxy group in  $\alpha$ - or  $\beta$ -position, a hydroxyl or mercapto group in  $\alpha$ - or  $\beta$ -position, a halogen atom in  $\alpha$ - or  $\beta$ -position, a chloromethyl group in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position, a straight-chain or branched-chain, saturated or unsaturated alkoxy or alkylthio group with 1 to 6 carbon atoms or an optionally substituted aryl or heteroaryl radical,

R<sup>15</sup> is a halogen atom in  $\alpha$ - or  $\beta$ -position, or a straight-chain or branched-chain, saturated or unsaturated, optionally partially or completely fluorinated alkyl group with 1 to 10 carbon atoms in  $\alpha$ - or  $\beta$ -position that can be interrupted by one or more oxygen atoms, sulfur atoms, sulfoxide or sulfone groups or imino groups = NR<sup>15'</sup> (R<sup>15'</sup> = hydrogen atom, methyl, ethyl, propyl, i-propyl), and

$R^1, R^2, R^4, R^8, R^9, R^{14}, R^{16}$  and  $R^{17}$  in each case are a hydrogen atom.

**62.** A compound according to claims 53, wherein one or both hydroxyl groups is (are) esterified at C atoms 3 and 16 with an aliphatic or aromatic carboxylic acid or with an  $\alpha$ - or  $\beta$ -amino acid.

**63.** A compound according to claim 53, which compound is:

14 $\alpha$ ,15 $\alpha$ -methylen-estra-1,3,5(10)-triene-3,16 $\alpha$  -diol,

14 $\beta$ ,15 $\beta$ -methylen-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

7 $\alpha$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$  -diol,

11 $\beta$ -methoxy-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

7 $\alpha$ -methyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

8 $\alpha$ -estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

estra-1,3,5(10)-triene-2,3,16 $\alpha$ -triol,

17 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

18a-homo-estra-1,3,5(10)-triene-3,16 $\alpha$  -diol,

18a-homo-14 $\alpha$ ,15 $\alpha$ -methylen-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

14 $\alpha$ ,15 $\alpha$ -methylen-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

14 $\beta$ ,15 $\beta$ -methylen-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

7 $\alpha$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

11 $\beta$ -methoxy-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

7 $\alpha$ -methyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 8 $\alpha$ -estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 estra-1,3,5(10)-triene-2,3,16 $\alpha$ -triol,  
 17 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 18 $\alpha$ -homo-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 18 $\alpha$ -homo-14,15-methylen-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -ethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -methoxy-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol  
 7 $\alpha$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -cyanomethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -ethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -methoxy-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -cyanomethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -ethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

7 $\alpha$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -methoxy-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -cyanomethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -ethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -methoxy-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -cyanomethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -ethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -allyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methoxy-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -ethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

15 $\alpha$ -propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -allyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methoxy-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -methyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -ethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -allyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methoxy-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -ethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -allyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -methoxy-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -thiomethyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -trifluoromethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -pentafluoroethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,



7 $\alpha$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -phenyl-11 $\beta$ -Fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -cyanomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -phenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\beta$ -cyanomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -phenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -cyanomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

7 $\beta$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -phenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\beta$ -cyanomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -allyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -allyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

15 $\beta$ -methyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -allyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -ethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -allyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propenyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -methoxy-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -thiomethyl-11 $\beta$ -fluoro-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 14 $\alpha$ ,15 $\alpha$ -methylene-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 14 $\beta$ ,15 $\beta$ -methylene-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 11 $\beta$ -methoxy-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -phenyl-8 $\alpha$ -estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-2,3,16 $\alpha$ -triol,  
 17 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 18 $\alpha$ -homo-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

18a-homo-14 $\alpha$ ,15 $\alpha$ -methylene-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 14 $\alpha$ ,15 $\alpha$ -methylene-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 14 $\beta$ ,15 $\beta$ -methylene-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 11 $\beta$ -methoxy-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -phenyl-8 $\alpha$ -estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-2,3,16 $\alpha$ -triol,  
 17 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 18a-homo-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 18a-homo-14 $\alpha$ ,15 $\alpha$ -methylene-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -ethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -allyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propenyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methoxy-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -thiomethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -ethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -allyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propenyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

15 $\alpha$ -methoxy-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -thiomethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -methyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -ethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -allyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propenyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methoxy-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -thiomethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -ethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -allyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propenyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -methoxy-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -thiomethyl-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -ethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -allyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -i-propenyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,

15 $\alpha$ -methoxy-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -thiomethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\alpha$ -methyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -ethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -allyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -i-propenyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -methoxy-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\alpha$ -thiomethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -methyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -ethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -allyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -i-propenyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methoxy-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -thiomethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 15 $\beta$ -methyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -ethyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -allyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 15 $\beta$ -i-propenyl-11 $\beta$ -fluoro-7 $\alpha$ -phenyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol,

15β-methoxy-11β-fluoro-7α-phenyl-estra-1,3,5(10)-triene-3,16β-diol,  
 15β-thiomethyl-11β-fluoro-7α-phenyl-estra-1,3,5(10)-triene-3,16β-diol,  
 11β-[2-(3-methylthien)-yl]-estra-1,3,5(10)-triene-3,16α-diol,  
 11β-[2-(3-methylthien)-yl]-estra-1,3,5(10)-triene-3,16β-diol,  
 13α-estra-1,3,5(10)-triene-3,16α-diol,  
 13α-estra-1,3,5(10)-triene-3,16β-diol,  
 14β-estra-1,3,5(10)-triene-3,16α-diol,  
 14β-estra-1,3,5(10)-triene-3,16β-diol,  
 11β-methylestra-1,3,5(10)-triene-3,16α-diol,  
 11β-methylestra-1,3,5(10)-triene-3,16β-diol,  
 11β-methyl-18a-homoestra-1,3,5(10)-triene-3,16α-diol,  
 11β-methyl-18a-homoestra-1,3,5(10)-triene-3,16β-diol,  
 11β-ethylestra-1,3,5(10)-triene-3,16α-diol,  
 11β-ethylestra-1,3,5(10)-triene-3,16β-diol,  
 11β-ethyl-18a-homoestra-1,3,5(10)-triene-3,16α-diol,  
 11β-ethyl-18a-homoestra-1,3,5(10)-triene-3,16β-diol,  
 11β-vinylestra-1,3,5(10)-triene-3,16α-diol,  
 11β-vinylestra-1,3,5(10)-triene-3,16β-diol,  
 11β-vinyl-18a-homoestra-1,3,5(10)-triene-3,16α-diol,  
 11β-vinyl-18a-homoestra-1,3,5(10)-triene-3,16β-diol,  
 11β-ethinylestra-1,3,5(10)-triene-3,16α-diol,  
 11β-ethinylestra-1,3,5(10)-triene-3,16β-diol,  
 11β-ethinyl-18a-homoestra-1,3,5(10)-triene-3,16α-diol,  
 11β-ethinyl-18a-homoestra-1,3,5(10)-triene-3,16β-diol,

9 $\alpha$ -methylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 9 $\alpha$ -methylestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 9 $\alpha$ -methyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 9 $\alpha$ -methyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -methyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -methyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -ethyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -ethyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ ,11 $\beta$ -dimethylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ ,11 $\beta$ -dimethylestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ ,11 $\beta$ -dimethyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ ,11 $\beta$ -dimethyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 16 $\beta$ -ethinyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 16 $\alpha$ -ethinyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -methyl-16 $\beta$ -ethinylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -methyl-16 $\alpha$ -ethinylestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 7 $\alpha$ -methyl-16 $\beta$ -ethinyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 7 $\alpha$ -methyl-16 $\alpha$ -ethinyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol,  
 11 $\beta$ -methyl-16 $\beta$ -ethinylestra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
 11 $\beta$ -methyl-16 $\alpha$ -ethinylestra-1,3,5(10)-triene-3,16 $\beta$ -diol,



11 $\beta$ -methyl-16 $\beta$ -ethinyl-18a-homoestra-1,3,5(10)-triene-3,16 $\alpha$ -diol, or  
11 $\beta$ -methyl-16 $\alpha$ -ethinyl-18a-homoestra-1,3,5(10)-triene-3,16 $\beta$ -diol.

**64.** A compound according to claim 63, which compound is:

7 $\alpha$ -fluoro-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
7 $\alpha$ -methyl-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol,  
7 $\alpha$ -methyl-estra-1,3,5(10)-triene-3,16 $\beta$ -diol, or  
18 $\alpha$ -homo-estra-1,3,5(10)-triene-3,16 $\alpha$ -diol.

**65.** A pharmaceutical composition containing at least one compound according to claim 53 and a pharmaceutically compatible vehicle.